	FILE	'REGISTRY'	ENTERED	ΑT	15:18:33	3 ON	1 03	SEP	, 2008	
L1		STRU	CTURE UPI	LOAI	DED					
L2		0 S L1								
L3		6 S L1	SSS FULI	_						
	FILE	'HCAPLUS' I	ENTERED A	ΓI	15:19:39	ON	03	SEP	2008	
L4		6 S L3								

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chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27
               32
                                       38
28 29 30 31
                   33
                       34
                           35
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49 50 51
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53
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                       59
                           60 61 62 63 64 65 66
                                                       67
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                                                                   70
                                                                           72 73
                   58
                                                           68
                                                                       71
74
   76
       78
           85
87
ring nodes :
1 2 3 4 5 6
chain bonds :
1-10 \quad 1-69 \quad 2-11 \quad 2-68 \quad 3-7 \quad 3-72 \quad 5-9 \quad 5-71 \quad 6-8 \quad 6-70 \quad 7-76 \quad 8-85 \quad 9-87 \quad 10-47
11-78 12-13 12-45 13-14 14-15 14-46 15-16 16-17 17-18 18-19 19-20 20-21
       22-23
21-22
23-24
       24-25
             26-27
                    26-44 27-28
                                  28-29 29-30 30-31
                                                       31-32
                                                              32-33 33-34 34-35
35-36
       36-37
             36-73
37-38
      37-74
             38-39
                    39-40 40-41
                                  41-42 42-43 47-48
                                                       48 - 49
                                                              49-50
                                                                     49-57 49-58
50-51
      51-52
52-53 53-54 54-55 55-56 59-60 59-66 60-61 60-65 62-63 63-64 64-67
ring bonds :
```

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1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds:
1-2 1-6 1-10 2-3 2-11 3-4 4-5 5-6 5-9 6-8 7-76 8-85 9-87 11-78 12-45
14-46 26-44 49-57 63-64
exact bonds:
1-69 2-68 3-7 3-72 5-71 6-70 10-47 12-13 13-14 14-15 15-16 16-17 17-18
18-19 19-20 20-21 21-22 22-23 23-24 24-25 26-27 27-28 28-29 29-30 30-31
31-32 32-33
33-34 34-35 35-36 36-37 36-73 37-38 37-74 38-39 39-40 40-41 41-42 42-43
47-48 48-49
49-50 49-58 50-51 51-52 52-53 53-54 54-55 55-56 59-60 59-66 60-61 60-65
62-63 64-67
```

G1:H, Si, CH3

G2:H,P

G3:H, MeO

G4:[*1],[*2]

G5:[*3],[*4]

```
Match level :
```

```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 30:CLASS 30:CLASS 31:CLASS 32:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 40:CLASS 42:CLASS 44:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 50:CLASS 57:CLASS 57:CLASS 58:CLASS 59:CLASS 60:CLASS 60:CLASS 60:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:CLASS 68:CLASS 69:CLASS 71:CLASS 72:CLASS 73:CLASS 74:CLASS 76:CLASS 78:CLASS 85:CLASS 87:CLASS
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L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

 * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:19:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1147 TO 2253 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:19:18 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2031 TO ITERATE

100.0% PROCESSED 2031 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

L3 6 SEA SSS FUL L1

=> d 13 scan

L3 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 3-0-decyl-2-deoxy-2-[(1,3-deoxy-2-1)]

dioxotetradecyl)amino]-

MF C33 H61 N O7

Absolute stereochemistry.

Double bond geometry as shown.

Me (CH₂)₁₀
$$\stackrel{H}{N}$$
 $\stackrel{R}{N}$ $\stackrel{O}{N}$ $\stackrel{R}{N}$ $\stackrel{R}{N}$ $\stackrel{O}{N}$ $\stackrel{O}{N}$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L3 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 3-O-decyl-2-deoxy-6-O-[(1,1-deoxy-6-0-1)]

dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-

MF C39 H75 N O7 Si

Absolute stereochemistry.

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN β -D-Glucopyranoside, (1E)-1-propen-1-yl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-

MF C39 H75 N O7 Si

Absolute stereochemistry. Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-0-[(3R)-3-methoxydecyl]-6-0-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]-

MF C39 H73 N O7

Me (CH₂) 5
$$\underline{Z}$$
 (CH₂) 9 \underline{R} \underline{R}

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
178.82 179.03

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 15:19:39 ON 03 SEP 2008
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FILE COVERS 1907 - 3 Sep 2008 VOL 149 ISS 10 FILE LAST UPDATED: 2 Sep 2008 (20080902/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 6 L3

=> d 14 1-6 ti abs bib hitstr

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Sodium salt of glucosamine disaccharide compound, method for producing the same, and use of the same

GΙ

```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
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```
AB There are disclosed a sodium salt represented by the average formula (I; m1, n1, m2 and n2 independently represent 0 or a pos. number not more than 2, while satisfying m1 + n1 = 2, m2 + n2 = 2, 0 < m1 + m2 < 4 and 0 < n1 + n2 < 4.) and a method for producing such a sodium salt. There is also a decomposition suppressing method which enables to have a sodium salt represented by the average formula I coexistent with a sodium salt represented by the general formula II below. This method enables to improve long-term stability of a sodium salt represented by the general formula II which is effective for the prevention and/or treatment of septicemia caused by gram pos. bacteria, in particular endotoxin shock. Thus, a DEAE column main fraction containing 6.0 g disaccharide free acid (III) (preparation given) and 4.80
```

weight% Na and 942.8 L MeOH were stirred in a 4 L flask at 25° , treated with 0.2 N NaOH/MeOH solution (15.2 mL), stirred overnight, filtered, and treated dropwise with 270 mL acetone at 25° . The precipitate was removed by filtration and dried in vacuo to give III.3.67 Na. When III.3.67 Na was stored in a screw-cap bottle at 25° for 30 days, impurities A, B, and C were formed at a rate of 0.072, 0.267, and 0.072 %/mo, resp., vs. 0.729. 3.117, and 0.033 %/mo, resp., for III.4.06Na.

AN 2008:636616 HCAPLUS <<LOGINID::20080903>>

DN 149:10241

- TI Sodium salt of glucosamine disaccharide compound, method for producing the same, and use of the same
- IN Sakurai, Shin; Furukawa, Ken; Matsuo, Kimihiro; Tagami, Kenichi
- PA Eisai R & D Management Co., Ltd., Japan
- SO PCT Int. Appl., 46pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PA:	rent 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
ΡI	WO	2008	0628	42		A1	_	2008	0529	1	WO 2	007-	JP72.	 579		2	0071:	 121
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
			KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
			MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,
			GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
PRAI	JP	2006	-315	020		Α		2006	1122									
	US	2006	-860	483P		P		2006	1122									
ΙT	748	3165-	18-61	P 74	8165	-20-	0P											

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of sodium salt of glucosamine disaccharide compound

with storage stability, method for producing it, and its use for prevention and/or treatment of endotoxin shock)

RN 748165-18-6 HCAPLUS

CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-0-[(3R)-3-methoxydecyl]-6-0-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]-, 4-(di-2-propen-1-yl phosphate) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂) 5
$$\overline{Z}$$
 (CH₂) 9 \overline{R} \overline{R}

RN 748165-20-0 HCAPLUS

CN α -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]-, 4-(di-2-propen-1-yl phosphate) 1-(2,2,2-trichloroethanimidate) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂) 5
$$\overline{Z}$$
 (CH₂) 9 \overline{R} \overline{R}

IT 748165-17-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sodium salt of glucosamine disaccharide compound with storage $% \left(1\right) =\left(1\right) +\left(1$

stability, method for producing it, and its use for prevention and/or treatment of endotoxin shock)

RN 748165-17-5 HCAPLUS

CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂)₅
$$\overline{Z}$$
 (CH₂)₉ \overline{R} $\overline{R$

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN TI Process for production of lipid A analogue GI

There is disclosed a process for producing 3-0-decyl-2-deoxy-6-0-[2-deoxy-AB 3-0-[(3R)-3-methoxydecyl]-6-0-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]- $4-O-phosphono-\beta-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino] \alpha$ -D-glucopyranose 1-(dihydrogen phosphate) (known as eritoran) tetrasodium salt (I; R = PO3Na2) which is useful as an active ingredient of a pharmaceutical or an intermediate for the synthesis thereof. A process for producing the compound I (R = PO3Na2) comprises the key steps of reacting a compound represented by the formula I [R = P(0) (OCH2CH:CH2)2]with a palladium catalyst in the presence of a nucleophilic agent (deallylation) and treating the product with a sodium source (sodium salt formation). This process is environment-friendly and excellent in safety, operationability, and reproducibility. Thus, a solution of 101.6 g I [R = P(O)(OCH2CH:CH2)2] in 203 mL THF was added to a mixture of Meldrum's acid 70.49, palladium acetate 2.93, and PPh3 51.3 g and the resulting mixture was stirred at 32° for 2 h and at 30° for 4 h, treated with 250 mL MeOH, and concentrated under reduced pressure to give a residue (466.7 g). The residue was dissolved in 4,570 mL MeOH at 40° , treated with

Ι

5.55 g trimercaptotriazine, stirred overnight at room temperature, and filtered to remove the precipitated trimercaptotriazine-palladium complex, followed by washing the precipitate with MeOH to give a combined filtrate (4,330 g). The filtrate (3,908.2 mL) was concentrated under reduced pressure to give a residue (440.9 g) which was treated with 450 mL acetone, concentrated under reduced pressure, treated again with 450 mL acetone, and concentrated under reduced pressure. The residue was refrigerated overnight, treated with 1,800 mL acetone, warmed to 40°, stirred for 1.5 h, air-cooled, stirred at $\geq 30^{\circ}$ for 1.5 h, and filtered to give, after washing with acetone and drying at 35-40° under reduced pressure, 74.2% eritoran (free acid form) which was treated with 0.1 N aqueous NaOH solution to give eritoran tetrasodium salt. 2007:257680 HCAPLUS <<LOGINID::20080903>> 146:317153 Process for production of lipid A analogue Tagami, Katsuya; Sato, Keizo; Matsuo, Kimihiro; Abe, Taichi; Haga, Toyokazu Eisai R & D Management Co., Ltd., Japan PCT Int. Appl., 69pp. CODEN: PIXXD2 Patent Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. ____ _____ _____ 20060829 WO 2007026675 A1 20070308 WO 2006-JP316941 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2006285926 20070308 AU 2006-285926 20060829 Α1 CA 2620027 20070308 CA 2006-2620027 20060829 Α1 EP 1939209 Α1 20080702 EP 2006-796921 20060829 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS KR 2008039374 20080507 KR 2008-700572 Α 20080109 CN 101238140 CN 2006-80027144 20080806 20080124 Α PRAI US 2005-712431P Ρ 20050831 JP 2005-253044 20050901 Α WO 2006-JP316941 W 20060829 CASREACT 146:317153 748165-18-6P 748165-20-0P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for production of lipid A analog (eritoran) via palladium-catalyzed deallylation of eritoran diallyl ester and

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RN 748165-18-6 HCAPLUS CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-0-[(3R)-3methoxydecy1]-6-0-methy1-2-[[(11Z)-1-oxo-11-octadecen-1-y1]amino]-,4-(di-2-propen-1-yl phosphate) (CA INDEX NAME)

formation of sodium salt)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂) 5
$$\overline{Z}$$
 (CH₂) 9 \overline{R} \overline{R}

RN 748165-20-0 HCAPLUS

CN α -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]-, 4-(di-2-propen-1-yl phosphate) 1-(2,2,2-trichloroethanimidate) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂) 5
$$\overline{Z}$$
 (CH₂) 9 \overline{R} \overline{R}

IT 748165-17-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(process for production of lipid A analog (eritoran) via
palladium-catalyzed deallylation of eritoran diallyl ester and
formation of sodium salt)

RN 748165-17-5 HCAPLUS

CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-0-[(3R)-3-methoxydecyl]-6-0-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]- (CA INDEX NAME)

Me (CH₂) 5
$$\overline{Z}$$
 (CH₂) 9 \overline{N} \overline{N}

L4ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

Preparation of glucose lipid A analogs inhibiting macrophage activity ΤI

GΙ

AΒ Title compds. I [Q = -O-, alkylene, -O-alkylene, etc.; W = -O- or -NH-;when W is -NH-, R1 is alkanoyl, alkenoyl, alkynoyl. (wherein alkanoyl, alkenoyl and alkynoyl are optionally substituted with halo, hydroxy, oxo, etc.); each R1 (when W is -O-), R2, R3, and R4 is H, alkyl, alkenyl, etc. (wherein alkyl and alkenyl are optionally substituted with halo, hydroxy, oxo, etc.); R5 = H, halo, hydroxy, etc.] and their pharmacol. acceptable salts were prepared For example, phosphono 3-0-decyl-2-deoxy-6-0-[3-0-[(R)- $3-methoxydecy1]-6-0-methy1-2-0-[(Z)-11-octadecenoy1]-4-0-phosphono-\beta D-glucopyranosyl]-2-(3-oxotetradecanoylamino)-\alpha-D-glucopyranoside$ (II) was prepared from 1,2:5,6-di-O-isopropylidene- α -D-glucofuranose in 18 steps. In human $\text{TNF}\alpha$ production inhibition assays, the IC50 value of compound II was 0.49 nM. Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.

2007:167289 HCAPLUS <<LOGINID::20080903>> AN

DN 146:252059

ΤI Preparation of glucose lipid A analogs inhibiting macrophage activity

INShiozaki, Masao; Shimozato, Ryuichi

PA

Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 86pp. SO

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PAT	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP	2007039450	A	20070215	JP 2006-187298	20060707
PRAI	JΡ	2005-199518	A	20050708		

OS MARPAT 146:252059

IT 859508-28-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of glucose lipid analogs for treatment of inflammation and autoimmune diseases)

RN 859508-28-4 HCAPLUS

CN β -D-Glucopyranoside, (1E)-1-propen-1-yl 3-0-decyl-2-deoxy-6-0-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

 ${\tt TI}$ Syntheses of glucose-containing ${\tt E5564}$ analogs and their LPS-antagonistic activities

AB Lipid A analogs containing a glucose moiety on their non-reducing end were synthesized, and their LPS-antagonistic activities were measured. The inhibitory activities (IC50) on LPS-induced TNF α production of title aminodeoxy disaccharides toward human whole blood cells were 0.46-1.11 nM. Inhibitory doses (ID50) of these compds. on TNF α production induced by co-injection of galactosamine and LPS in C3H/HeN mice were measured. The ID50 values of these compds. were 0.20-1.08 and <0.2 mg/kg. Moreover, C3H/HeN mice preinjected with compds. were protected from lethality induced by co-injection of galactosamine and LPS. Out of eight mice preinjected with 1 mg/kg of title compds. five-eight mice were protected.

AN 2005:1299295 HCAPLUS <<LOGINID::20080903>>

DN 144:171174

 ${\tt TI}$ Syntheses of glucose-containing ${\tt E5564}$ analogs and their LPS-antagonistic activities

AU Shiozaki, Masao; Doi, Hiromi; Tanaka, Daisuke; Shimozato, Takaichi; Kurakata, Shin-ichi

CS Chemistry Department, Chemtech Labo, Inc., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140-8710, Japan

SO Tetrahedron (2005), Volume Date 2006, 62(1), 205-225 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 144:171174

IT 859508-28-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of glucose-containing ${\tt E5564}$ analogs and their LPS-antagonistic activities)

RN 859508-28-4 HCAPLUS

CN β -D-Glucopyranoside, (1E)-1-propen-1-yl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me
$$\stackrel{\text{E}}{\longrightarrow}$$
 O $\stackrel{\text{H}}{\bigcirc}$ R $\stackrel{\text{R}}{\bigcirc}$ O $\stackrel{\text{R}}{\bigcirc}$ R $\stackrel{\text{R}}{\bigcirc}$ O $\stackrel{\text{Si}}{\bigcirc}$ Bu-t $\stackrel{\text{Me}}{\bigcirc}$ Me $\stackrel{\text{Me}}{\bigcirc}$ Me $\stackrel{\text{Me}}{\bigcirc}$

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

preparation of levulose glucoselipid A derivatives as $\text{TNF}\alpha$ production inhibitors

GΙ

ΤI

Title compds. I [Q = 0, etc.; W = 0, NH; R1 = (un)substituted alkanoyl,AΒ etc. with the proviso that if W = NH; R1 (with the proviso that if W = O), R2, R3, R4 = H, (un)sunstituted alkyl, etc.; R5 = H, halo, etc.] were prepared For example, phosphorylation of 4-O-(allyloxycarbonyl)-3-O-decyl-2deoxy-6-0-[4-0-diallylphosphono-3-0-[(R)-3-methoxydecyl]-6-0-methyl-2-0- $[(Z)-11-\text{octadecenoyl}]-\beta-D-\text{glucopyranosyl}]-2-(3-\text{oxotetradecanoylamino}) \alpha$ -D-glucopyranoside, e.g., prepared from 1,2:5,6-di-O-isopropylidene- α -D-glucofuranose in 15 steps, with diallyl diisopropylphosphoramidate followed by deallylation using Pd(PPh3)4 afforded phosphono 3-0-decyl-2-deoxy-6-0-[3-0-[(R)-3-methoxydecyl]-6-0 $methyl-2-0-[(Z)-11-octadecenoyl]-4-0-phosphono-\beta-D-glucopyranosyl]-2-$ (3-oxotetradecanoylamino)- α -D-glucopyranoside (II). In TNF α production inhibition assays, the IC50 value of compound II was 0.49 nM. Compds. I are claimed useful for the treatment of inflammation, septicemia, etc.

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2005:638895 HCAPLUS <<LOGINID::20080903>>
ΑN
DN
     143:153644
ΤI
     preparation of levulose glucoselipid A derivatives as {\tt TNF}\alpha
     production inhibitors
     Shiozaki, Masao; Shimozato, Takaichi
IN
PA
     Sankyo Company, Limited, Japan
SO
     PCT Int. Appl., 156 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
                           KIND
                                                APPLICATION NO.
     PATENT NO.
                                   DATE
                                                WO 2005-JP434
PΤ
     WO 2005066193
                           A1
                                   20050721
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              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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                                  20060920
     EP 1702926
                            Α1
                                                 EP 2005-703673
                                                                           20050107
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                                 20070314
                                                CN 2005-80007429
     CN 1930180
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     BR 2005006671
                            Α
                                   20070515
                                                 BR 2005-6671
                                                                           20050107
     IN 2006KN01892
                                   20070511
                                                 IN 2006-KN1892
                            Α
                                                                           20060706
     MX 2006PA07822
                            Α
                                   20060926
                                                 MX 2006-PA7822
                                                                           20060707
PRAI JP 2004-2902
                                   20040108
                            Α
     WO 2005-JP434
                            W
                                   20050107
OS
     MARPAT 143:153644
     859508-28-4P
ΙT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (preparation of levulose glucoselipid A derivs. as TNFlpha production
         inhibitors for treatment of inflammation, septicemia, etc.)
RN
     859508-28-4 HCAPLUS
CN
     \beta-D-Glucopyranoside, (1E)-1-propen-1-yl 3-0-decyl-2-deoxy-6-0-[(1,1-
     dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (CA INDEX
     NAME)
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RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN L4
- Reagents and methods for preparing lipopolysaccharides antagonist B1287 ΤI and stereoisomers thereof for treatment of various forms of septic shock GΙ
- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AΒ The present invention provides methods for preparing lipopolysaccharides (LPS) antagonist lipo-disaccharide B1287 and stereoisomers thereof, which compds. are useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock (no biol. data). Also provided are synthetic intermediates useful for implementing the inventive methods. Thus, lipo-disaccharide B1287 I was prepared for treatment of various forms of septic shock.
- 2004:718552 HCAPLUS <<LOGINID::20080903>> ΑN
- 141:225771 DN
- ΤI Reagents and methods for preparing lipopolysaccharides antagonist B1287 and stereoisomers thereof for treatment of various forms of septic shock
- ΙN Fan. Rulin
- Eisai Co, Ltd., Japan PA
- SO PCT Int. Appl., 175 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

CN

r AN.	PATENT NO.	KIND D	DATE	APPLICATION NO.			
ΡI	WO 2004074303 WO 2004074303	A2 2	20040902 20041229	WO 2004-US4921			
	W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LK, LR, LS, RW: BW, GH, GM, BG, CH, CY, MC, NL, PT,	AM, AT, CU, CZ, HR, HU, LT, LU, KE, LS, CZ, DE, RO, SE,	AU, AZ, E DE, DK, E ID, IL, I LV, MA, M MW, MZ, S DK, EE, E SI, SK, I	BA, BB, BG, BR, BW, BDM, DZ, EC, EE, EG, ESIN, IS, JP, KE, KG, KIMD, MG, MK, MN, MW, MED, SL, SZ, TZ, UG, ZIES, FI, FR, GB, GR, HIRR, BF, BJ, CF, CG, CE	S, FI, GB, GD, P, KR, KZ, LC, K, MZ, NA, NI M, ZW, AT, BE, U, IE, IT, LU,		
DD A T		T 2 A1 2	20060810 20060720	JP 2006-503710 US 2005-546132			
OS	WO 2004-US4921 CASREACT 141:225771 748165-17-5P 748165	W 2 ; MARPAT	20040218 141:22577				
	748165-24-4P 748165 RL: IMF (Industrial preparation); PREP (reagents and me	-25-5P manufact (Preparat thods for	ture); RCI tion); RAC r preparir	I (Reactant); SPN (Syn CT (Reactant or reaged ng lipopolysaccharide nt of various forms of	nt) s antagonist b and		
RN	748165-17-5 HCAPLU	S					

methoxydecyl]-6-0-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]- (CA

 α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-0-[(3R)-3-

Absolute stereochemistry.

INDEX NAME)

Double bond geometry as shown.

RN 748165-18-6 HCAPLUS CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 2-deoxy-3-0-[(3R)-3-methoxydecyl]-6-0-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]-, 4-(di-2-propen-1-yl phosphate) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂) 5
$$\overline{Z}$$
 (CH₂) 9 \overline{R} \overline{R}

RN 748165-20-0 HCAPLUS CN α -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecen-1-yl]amino]-, 4-(di-2-propen-1-yl phosphate) 1-(2,2,2-trichloroethanimidate) (CA INDEX NAME)

Me (CH₂)₅
$$\overline{Z}$$
 (CH₂)₉ \overline{R} $\overline{R$

RN 748165-24-4 HCAPLUS

CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 3-0-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂)₁₀
$$\stackrel{H}{\underset{O}{\bigvee}}$$
 $\stackrel{H}{\underset{R}{\bigvee}}$ $\stackrel{Me}{\underset{R}{\bigvee}}$ $\stackrel{Me}{\underset{Me}{\bigvee}}$ OH

RN 748165-25-5 HCAPLUS

CN α -D-Glucopyranoside, (1Z)-1-propen-1-yl 3-0-decyl-2-deoxy-6-0-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (CA INDEX NAME)

Me (CH₂)₁₀
$$\stackrel{H}{\underset{N}{\bigvee}}$$
 $\stackrel{N}{\underset{N}{\bigvee}}$ $\stackrel{N}{\underset{N}{\bigvee}}$